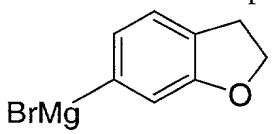
The process as recited above, wherein the first or second aprotic solvent is selected from the group consisting of tetrahydrofuran, acetonitrile, dimethylacetamide, dimethylformamide, diethyl ether, N-methylpyrrolidinone, dichloromethane, methyl t-butyl ether, toluene, benzene, hexane, pentane, dioxane, and a mixture thereof. A preferred first aprotic solvent is a 1:1 mixture of N-methylpyrrolidinone and tetrahydrofuran at temperature range of about -40°C to about -50°C or N-methylpyrrolidinone at temperature range of about -20°C to about -10°C. A preferred second aprotic solvent is THF or a mixture of THF/toluene.

The process as recited above, wherein the additive is selected from the group consisting of $MgBr_2 \cdot Et_2O$, LiBr, $BF_3 \cdot ET_2O$, ArLi, and DMPU.

The process as recited above, wherein the Grignard reagent is ArMgX, which is prepared from ArX and Mg.

The process as recited above, wherein the Grignard reagent is



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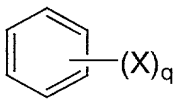
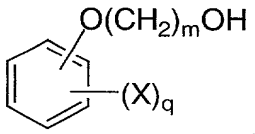
The process as recited above, wherein ArX is prepared by the following steps:

(a) reacting 

with $HO(CH_2)_mOH$ in the presence of a base to give 

, wherein q is 1 to 5, m is 2, 3, or 4 and X is Br, Cl, F, or I;

(b) halogenating $-O(CH_2)_mOH$ substituent of the benzene to produce the benzene with $-O(CH_2)_mX$ substituent in the presence of an aprotic solvent, water, and halogenating agent at a temperature range of about 0°C to about 90°C; and

(c) cyclizing the compound produced in step (b) in the presence of alkyl lithium or aryl lithium to give ArX.

The process as recited above, wherein the ArX is 6-bromo-2,3-dihydrobenzofuran.

The process as recited above, wherein the temperature range in Grignard addition reaction is about -40°C to about -50°C.

The process as recited above, wherein the phosphoramide reagent is N,N,N,N-tetra(C_1-C_6)-alkylphosphorodiamidic halide or N,N,N,N-tetraarylphosphorodiamidic halide, preferably N,N,N,N-tetramethylphosphorodiamidic chloride, $[(CH_3)_2N]_2POCl$ or N,N,N,N-tetramethylphosphorodiamidic bromide, $[(CH_3)_2N]_2POBr$, N,N,N,N-tetraethylphosphorodiamidic chloride, $[(CH_3CH_2)_2N]_2POCl$ or N,N,N,N-tetraethylphosphorodiamidic bromide, $[(CH_3CH_2)_2N]_2POBr$ N,N,N,N-tetraisopropylphosphorodiamidic chloride $[((CH_3)_2CH)_2N]_2POCl$ or N,N,N,N-tetraisopropylphosphorodiamidic bromide, $[((CH_3)_2CH)_2N]_2POBr$, N,N,N,N-tetraphenylphosphorodiamidic chloride, or N,N,N,N-tetraphenylphosphorodiamidic bromide.

The process as recited above wherein the base is selected from the group consisting of n-butyl lithium, phenyl lithium, potassium *tert*-butoxide, sodium hydride, lithium diisopropylamide, lithium diethylamide, lithium dimethylamide, potassium hexamethyldisilazide, sodium hexamethyldisilazide, and lithium hexamethyldisilazide. The preferred base is sodium hexamethyldisilazide, which is present in amounts between about 1 equivalent and about 6 equivalents relative to the amount of the phosphoramide reagent or N,N,N',N'-tetramethylphosphorodiamidic chloride.

The process as recited above, wherein the temperature range for the cyclization in the presence of phosphoramide reagent is about -20°C to about 25°C.

The process as recited above, which further comprises the steps of:

(a) deprotecting the cyclized compound of Formula IV by removing protecting groups with acid at a temperature range of about 0°C to about 25°C;

(b) crystallizing the deprotected compound as benzylamine salt; and

(c) hydrogenating the deprotected compound in the presence of a hydrogenation catalyst and a protic solvent at a temperature range of about 25°C to about 40°C.

The process as recited above, wherein the hydrogenation catalyst is Pd/C.

The process as recited above, wherein the protic solvent is selected from the group consisting of (C_1-C_6)-alcohol, H_2O, and a mixture thereof. The preferred protic solvent is methanol.

It is further understood that the substituents recited above would include the definitions recited below.

As used herein, the term "alkyl," unless otherwise indicated, includes those alkyl groups of a designated number of carbon atoms of either a straight, branched, or cyclic configuration. Examples of "alkyl" include methyl, ethyl, propyl, isopropyl, butyl, *sec*-butyl, *tert*-butyl, pentyl, hexyl, heptyl, neopentyl, isopentyl, and the like.

Cycloalkyl denotes rings composed of 3 to 8 methylene groups, each of which may be optionally substituted with other hydrocarbon substituents. Examples of cycloalkyls include, but are not limited to: cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, 4-methylcyclohexyl, cycloheptyl, and the like.

The term "alkenyl" includes hydrocarbon chains of a specified number of carbon atoms of either a straight or branched configuration and at least one unsaturation, which may occur at any point along the chain, such as ethenyl, propenyl, butenyl, pentenyl, vinyl, allyl, 2-butenyl and the like.

The term "alkoxy" represents an alkyl group of indicated number of carbon atoms attached through an oxygen bridge, such as methoxy, ethoxy, propoxy, isopropoxy, butoxy, *tert*-butoxy, pentoxy, and the like.

The term "aryl," unless specifically defined otherwise, is defined as phenyl and 1-naphthyl or 2-naphthyl, including aryl substituted with a 5- or 6-membered fused ring, such as an unsubstituted and substituted 2,3-dihydrobenzofuran, methylenedioxy, oxazolyl, imidazolyl, or thiazolyl ring. Aryl as defined above may be optionally substituted with one to three of the substituents as set forth in the embodiments recited above.

The heteroaryl substituents represent but are not limited to: a carbazolyl, furanyl, thienyl, pyrrolyl, isothiazolyl, imidazolyl, isoxazolyl, thiazolyl, oxazolyl, pyrazolyl, pyrazinyl, pyridyl, pyrimidyl, and purinyl.

The heterocyclyl substituents represent but are not limited to: oxazolidinyl, thiazolidinyl, imidazolidinyl, thiazolidinyl, oxadiazolyl, thiadiazolyl, morpholinyl, piperidinyl, piperazinyl, and pyrrolidinyl.